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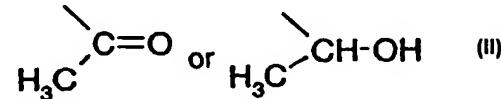
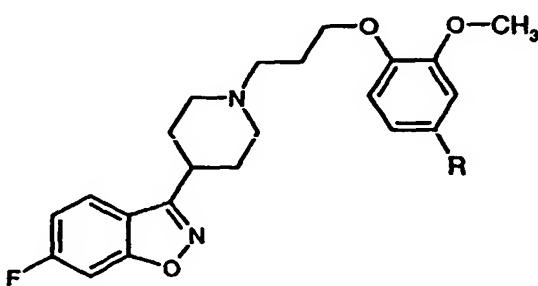
(72) Inventors; and (75) Inventors/Applicants (for US only): WIECKHUSEN, Dierk [DE/DE]; Mühlenstrasse 78, 79589 Binzen (DE). GLAUSCH, Alexandra [DE/DE]; Schutzackerstrasse 20, 79576 Weil am Rhein (DE). AHLHEIM, Markus [DE/DE]; Gewerbestrasse 9, 79219 Staufen (DE).

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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INJECTABLE DEPOT FORMULATION COMPRISING CRYSTALS OF ILOPERIDONE



(57) Abstract: An injectable depot formulation comprising crystals having Structure (I) wherein R is (II) and the X<sub>50</sub> value of the crystals is from 1 to 200 microns. Depot formulations containing crystals of iloperidone or its metabolite have the following advantages: (i) release of the crystals in plasma can be correlated with the size of the crystals; (ii) absorption of the crystals in plasma can be correlated with the size of the crystals; (iii) the particle size of the crystals can be controlled by crystal engineering and/or milling; and (iv) the crystals are stable upon storage, and stable to sterilization procedures, such as gamma irradiation.

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(74) Agent: GRUBB, Philip; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

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(71) Applicant (for all designated States except AT, US): NOVARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

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(71) Applicant (for AT only): NOVARTIS PHARMA GMBH [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

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(72) Inventors; and

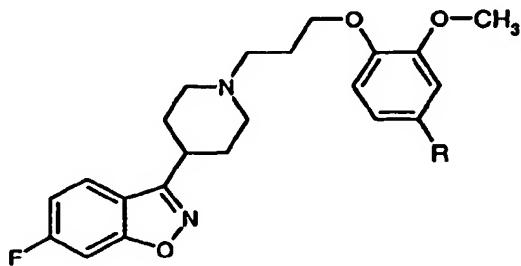
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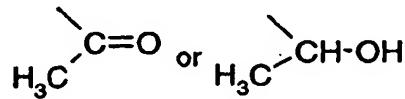
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(I)



(II)

(III)

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(57) Abstract: An injectable depot formulation comprising crystals having Structure (I) wherein R is (II) and the  $X_{50}$  value of the crystals is from 1 to 200 microns. Depot formulations containing crystals of iloperidone or its metabolite have the following advantages: (i) release of the crystals in plasma can be correlated with the size of the crystals; (ii) absorption of the crystals in plasma can be correlated with the size of the crystals; (iii) the particle size of the crystals can be controlled by crystal engineering and/or milling; and (iv) the crystals are stable upon storage, and stable to sterilization procedures, such as gamma irradiation.

# INTERNATIONAL SEARCH REPORT

International Application No  
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**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 A61K47/38 A61K47/10 A61K47/26 A61K31/454

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, PAJ, EPO-Internal, CHEM ABS Data

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	KELLEHER, JAMES P.; ET AL.: "Advances in atypical antipsychotics for the treatment of schizophrenia. New formulations and new agents." CNS DRUGS, vol. 16, no. 4, 2002, pages 249-261, XP001079584 the whole document ---	1-25
A	EP 0 402 644 A (HOECHST-ROUSSEL) 19 December 1990 (1990-12-19) the whole document ---	1-25
P, A	WO 03 020707 A (NOVARTIS) 13 March 2003 (2003-03-13) the whole document -----	1-25

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

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Date of the actual completion of the international search

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Information on patent family members

International Application No

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